

## PATENT COOPERATION TREATY

## PCT



INTERNATIONAL PRELIMINARY EXAMINATION REPORT  
(PCT Article 36 and Rule 70)

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Applicant's or agent's file reference JAF/PG4979		<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP 03/12035	International filing date (day/month/year) 24.10.2003	Priority date (day/month/year) 28.10.2002	
International Patent Classification (IPC) or both national classification and IPC C07C317/22			
Applicant GLAXO GROUP LIMITED et al.			
<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607. of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p>			
<p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the opinion</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p>			
Date of submission of the demand  28.04.2004		Date of completion of this report  30.07.2004	
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer  Romano-Götsch, R  Telephone No. +49 89 2399-8874  	

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/12035**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*);

**Description, Pages**

1-69 as originally filed

**Claims, Numbers**

1-21 as originally filed

**Drawings, Sheets**

1/3-3/3 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/12035**

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 15

because:

☒ the said international application, or the said claims Nos. relate to a method of treatment of the human body, i.e. relate to the following subject matter which does not require an international preliminary examination (specify):

**see separate sheet**

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-21
	No: Claims	
Inventive step (IS)	Yes: Claims	1-21
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-14,16-21 (15: no opinion)
	No: Claims	

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/12035**

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**2. Citations and explanations**

**see separate sheet**

**Reltem III**

**No establishment of opinionion**

For the assessment of the presently worded claim 15 on the question whether they are industrially applicable, no unified criteria exist in the PCT. The patentability can also be dependent upon the wording of the claims. The EPO, for example, does not regard as industrially applicable claims to the use of a compound in medical treatment, however will allow claims to a known compound for first use in medical treatment and the use of such compound for the manufacture of a medicament for a new medical treatment.

**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement**

The following documents are referred to in this communication:

D1: WO-A-02/066422

D2: GB-A-2 140 800

1. The present application meets the requirements of Art. 33(2) PCT because the claimed matter 1-21 is novel.

D1, which is regarded as the closest prior art, discloses phenethanolamine derivatives, which differ from the claimed compounds in that the substituent R1 is a sulphonamide of formula  $R1 = -SO_2NR_6R_7$  (see p.1, lines 30-35, with  $X = (CH_2)_p$  and  $p=0$ ), while R1 in the present application is a sulphonyl, sulphinyl or thio group of formula  $R1 = -SR_6$ ,  $-SOR_6$  or  $-SO_2R_6$ .

D2 describes phenethanolamine derivatives which differ from the compounds on file in that the group Ar in D2 cannot carry any of the substituents  $-SR_6$ ,  $-SOR_6$  or  $-SO_2R_6$  as in the application (see p.1, lines 47-51).

2. The present application meets the requirements of Art. 33(3) PCT because the claimed matter 1-21 is regarded as involving an inventive step.

Departing from D1, the problem to be solved by the application is the provision of new phenethanolamine derivatives useful in therapy and/or prophylaxis of respiratory diseases.

The solution proposed in the application, consists in the compounds of formula (I) which correspond to the compounds of Formula (I) of D1 where  $R1 = -XSO_2NR_6R_7$  has been replaced by any of  $-SR_6$ ,  $-SOR_6$  or  $-SO_2R_6$ .

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

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International application No. PCT/EP 03/12035

D1 is silent about the possibility of eliminating the amide group of the sulfonamide and yet obtaining an active compound. Furthermore, the steric requirements of a sulfonamide group are profoundly different from those of the groups -SR6, -SOR6 or -SO2R6 of the application.

Therefore, an inventive step for claims 1-21 has been acknowledged.

In view of the structural differences between the compounds of D2 and those on file, D2 is not considered relevant to the evaluation of an inventive step.

**Miscellaneous**

The following clarity objections will be pursued upon entry in the European regional phase:

- (i) The meaning of the expression "physiologically functional derivatives" used throughout the claims is an open-ended expression that leaves undefined the matter for which protection is sought, contrary to Art.6 PCT.
- (ii) the dependency of claim 2 is incomplete.